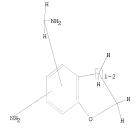
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10,002,010
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>> Uploading C:\Program Files\Stnexp\Queries\10552015a.str

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s 11 full
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REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

```
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END;y FULL SEARCH INITIATED 16:38:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2411081 TO ITERATE
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83.0% PROCESSED 2000000 ITERATIONS (1 INCOMPLETE) 1 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.10

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FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2411081 7 2411081
PROJECTED ANSWERS: 1 TO 4 41081
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L2 1 SEA SSS FUL L1

L3 1 L2

=> d ibib abs hitstr THE ESTIMATED COST FOR THIS REQUEST IS 5.64 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:v

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:848926 CAPLUS

DOCUMENT NUMBER: 136:119162

TITLE: Preparation and characterization of a new solvent-free polymer electrolyte based on spiroketal structure

AUTHOR(S): Tsutsumi, Hiromori; Shirotani, Rumiko; Onimura,

Kenjiro; Oishi, Tsutomu

CORPORATE SOURCE: Department of Applied Chemistry and Chemical Engineering, Faculty of Engineering, Yamaguchi

University, Yamaguchi, 755-8611, Japan

SOURCE: Electrochemical and Solid-State Letters (2001), 4(12),

A195-A196 CODEN: ESLEF6; ISSN: 1099-0062

PUBLISHER: Electrochemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Solvent-free solid polymer electrolytes based on spiropolymers were prepared and their properties were confirmed by conductance, differential scanning calorimetry, and X-ray diffraction measurements. The spiropolymer was

synthesized from the bicyclic diketone and pentaerythritol. The spiro-polyketal (SP) dissolves lithium perchlorate and the conductivity of the (SP)1.5(LiClO4)1 complex is 4.24 + 10-5 S cm-1 at 30° and

3.83 + 10-4 S cm-1 at 60°.

IT 391671-11-7P

RL: POF (Polymer in formulation); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses) (preparation and characterization of a new solvent-free polymer electrolyte

based on spiroketal structure)

391671-11-7 CAPLUS

CN Poly(3''a,6''a-diethyltetrahydrodispiro[1,3-dioxane-5,5'-[1,3]dioxane-2',2''(1''H)-pentalene]-2,5''(3''H)-divlidene) (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file req

RN

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 4.38 190.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE -0.82 -0.82

FILE 'REGISTRY' ENTERED AT 16:40:18 ON 02 SEP 2009

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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COPYRIGHT (C) 2009 American Chemical Society (ACS)
Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.
STRUCTURE FILE UPDATES:
                         1 SEP 2009 HIGHEST RN 1179012-51-1
DICTIONARY FILE UPDATES: 1 SEP 2009 HIGHEST RN 1179012-51-1
New CAS Information Use Policies, enter HELP USAGETERMS for details.
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.
 Please note that search-term pricing does apply when
 conducting SmartSELECT searches.
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:
http://www.cas.org/support/stngen/stndoc/properties.html
=> s 391671-11-7/rn
            1 391671-11-7/RN
L4
=> d
THE ESTIMATED COST FOR THIS REQUEST IS 2.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N:y
   ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN
L4
RN 391671-11-7 REGISTRY
ED
    Entered STN: 12 Feb 2002
     Poly(3''a,6''a-diethyltetrahydrodispiro[1,3-dioxane-5,5'-[1,3]dioxane-
CN
     2',2''(1''H)-pentalene]-2,5''(3''H)-divlidene) (9CI) (CA INDEX NAME)
MF
    (C18 H26 O4)n
CI
    PMS
PCT Double strand, Polyother
SR
    CA
    STN Files: CA, CAPLUS
**RELATED POLYMERS AVAILABLE WITH POLYLINK**
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
Uploading C:\Program Files\Stnexp\Oueries\10552015.str
       STRUCTURE UPLOADED
=> d
L5 HAS NO ANSWERS
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Structure attributes must be viewed using STN Express query preparation.

Structure attributes must be viewed using STN Express query preparation.

=> s 15 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 16:49:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 405230 TO ITERATE

100.0% PROCESSED 405230 ITERATIONS 6 ANSWERS SEARCH TIME: 00.00.07

L6 6 SEA SSS FUL L5

=> file caplus

 COST IN U.S. DOLLARS
 SINCE FILE TOTAL SESSION

 FULL ESTIMATED COST
 195.13

 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
 SINCE FILE TOTAL

FILE 'CAPLUS' ENTERED AT 16:49:52 ON 02 SEP 2009 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 2 Sep 2009 VOL 151 ISS 10
FILE LAST UPDATED: 1 Sep 2009 (20090901/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEMS 9.

=> d his

(FILE 'HOME' ENTERED AT 16:38:01 ON 02 SEP 2009)

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S L1

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L3
             1 S L2 FULL
    FILE 'REGISTRY' ENTERED AT 16:40:18 ON 02 SEP 2009
L4
             1 S 391671-11-7/RN
L5
               STRUCTURE UPLOADED
L6
             6 S L5 FULL
     FILE 'CAPLUS' ENTERED AT 16:49:52 ON 02 SEP 2009
=> d 13
    ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN
AN
    2001:848926 CAPLUS
DN
    136:119162
TI
    Preparation and characterization of a new solvent-free polymer electrolyte
     based on spiroketal structure
    Tsutsumi, Hiromori; Shirotani, Rumiko; Onimura, Kenjiro; Oishi, Tsutomu
CS
     Department of Applied Chemistry and Chemical Engineering, Faculty of
     Engineering, Yamaguchi University, Yamaguchi, 755-8611, Japan
     Electrochemical and Solid-State Letters (2001), 4(12), A195-A196
    CODEN: ESLEF6; ISSN: 1099-0062
PB Electrochemical Society
DT
    Journal
LA
    English
RE.CNT 8
             THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> s 15
  REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.
SAMPLE SEARCH INITIATED 16:50:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20352 TO ITERATE
 9.8% PROCESSED
                   2000 ITERATIONS
                                                               0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                       BATCH **COMPLETE**
PROJECTED ITERATIONS:
                           398496 TO 415584
PROJECTED ANSWERS:
                                0 TO
                                        0
L7
            0 SEA SSS SAM L5
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L8 0 L7

=>

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L9 STRUCTURE UPLOADED

=> d L9 HAS NO ANSWERS L9 STR

NH₂
H
O
H

Structure attributes must be viewed using STN Express query preparation.

=> s 19 full sss

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END;y FULL SEARCH INITIATED 16:51:28 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 405230 TO ITERATE

100.0% PROCESSED 405230 ITERATIONS SEARCH TIME: 00.00.07 6 ANSWERS

L10 6 SEA SSS FUL L9

L11 44 L10

=> s 111 and py<2004

24036149 PY<2004 L12 23 L11 AND PY<2004

=> d 1-23 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 129.72 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L12 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:972057 CAPLUS

DOCUMENT NUMBER: 140:27765

TITLE: Preparation of piperidine derivatives as tachykinin

receptor antagonists for treatment of frequent urination and urinary incontinence

INVENTOR(S): Ikeura, Yoshinori; Hashimoto, Tadatoshi; Tarui, Naoki;

Shirai, Junya; Yamashita, Masayuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan SOURCE: PCT Int. Appl., 264 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.							APPLICATION NO.									
	20031019	64		A1		2003	1211		WO 2	003-	JP67	54		2			
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						DK,											
						IN,											
						MG,											
						SD,					ΤJ,	TM,	TN,	TR,	TT,	TZ,	
						VN,											
	RW: GH,																
						TM,											
						IE,											
						CM,											
	2487688			AI		2003	1211		CA 2	003-	2487	988		- 2	0030	529 <	
	20032419																
BR	20030114 1553084	25		A		2005	0315		BR 2	003-	1142	5		- 2	0030	529	
EP																	
	R: AT,															PT,	
						RO,											
CN	1671662			A		2005	0921		CN 2	003-	8183	54		2	0030	529	
NZ	537330 20042850	20		A		2007	0427		NZ Z	003-	53/3	30		2	0030	529	
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	20060167					2006									0041		
				A													
	2004KN01 20040057																
	ZUU4UU5/ Y APPLN.			A		2005	0216								0041		
PRIORII	APPLN.	TIMEO	. :												0020		
									UP Z	003-	TD62	Ε A		n 2	0030	12/	
OTHER SO	OURCE(S):			MARI	PAT	140:	2776		WU Z	003-	JP6/	54		W 2	.0030	229	

The title compds. I [wherein Ar = (un)substituted aryl, aralkyl, or heteroaryl; R1 = H, acyl, (un)substituted hydrocarbyl, or heterocyclyl; X = O or (un)substituted NH; Z = (un)substituted CH2; ring A = (un) substituted piperidine; ring B = (un) substituted aryl; with exclusions] or prodrugs or salts thereof are prepared I have excellent tachykinin receptor antagonistic activity, and are useful for the treatment of frequent urination and urinary incontinence (no data). For example, the compound II . XHCl was prepared in a multi-step synthesis. II showed antagonistic activity with IC50 of 0.025 nM against human substance P receptor. Formulations containing I as an active ingredient were also described.

42933-43-7, 2,3-Dihydro-1-benzofuran-5-amine RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of piperidine derivs. as tachykinin receptor antagonists for treatment of frequent urination and urinary incontinence)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 12 CAPLUS RECORDS THAT CITE THIS

RECORD (31 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER:

2003:796634 CAPLUS

DOCUMENT NUMBER: 139:292049

TITLE: Preparation of arylalkanols as glucocorticoid mimetics

for treatment of inflammatory, allergic, and

proliferative diseases

INVENTOR(S): Bekkali, Younes; Cardozo, Mario G.; Kirrane, Thomas

M.; Kuzmich, Daniel; Proudfoot, John Robert; Takahashi, Hidenori; Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus

Joachim; Razavi, Hossein

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 245 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.			
WO 2003082787	A1 20031009	WO 2003-US8589			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,		
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,		
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,		
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NI, NO, NZ, OM,		
PH, PL, PT,	RO, RU, SC, SD,	SE, SG, SK, SL, TJ,	TM, TN, TR, TT,		
TZ, UA, UG,	UZ, VC, VN, YU,	ZA, ZM, ZW			
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,		
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AU 2003230700	A1 20031013	AU 2003-230700	20030321 <		
US 20040029932	A1 20040212	US 2003-394157	20030321		
US 7268152	B2 20070911				
EP 1490317	A1 20041229	EP 2003-723790	20030321		
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JP 2005521717	T 20050721	JP 2003-580258	20030321		
PRIORITY APPLN. INFO.:		US 2002-367801P	P 20020326		
		WO 2003-US8589			
OTHER SOURCE(S): GI	MARPAT 139:2920				

$$\mathbb{R}^3$$
 OH \mathbb{R}^3 OH \mathbb{R}^3 OH \mathbb{R}^3 OH \mathbb{R}^4 \mathbb{R}^5 II \mathbb{R}^4 \mathbb{R}^4 \mathbb{R}^5 III

Title compds. I and II [wherein R1 = substituted (hetero)ary1; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted aryl; and R6 (when present) =

02/09/2009 TOh

(un) substituted alkyl, alkenyl, alkynyl, carbocyclyl (alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics. For example, coupling of 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (preparation given) with benzylmagnesium chloride in THF provided III (62%). Over seventy compds. of the invention were tested and demonstrated potent activity (≤100 nM) in a glucocorticoid receptor (GR) binding assay. Thus, I, II, and pharmaceutical compns. containing such compds. are useful for

function (no data). 42933-43-7P, (2,3-Dihydrobenzofuran-5-yl)amine RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

treating inflammatory, allergic, or proliferative disorders mediated by GR

(intermediate; preparation of arylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihvdro- (CA INDEX NAME)

OS.CITING REF COUNT: THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD R (8 CITINGS)

REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN 2003:796483 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 139:292139

TITLE: Preparation of heteroarylalkanols as glucocorticoid mimetics for treatment of inflammatory, allergic, and

proliferative diseases

INVENTOR(S): Bekkali, Younes; Betageri, Rai; Gilmore, Thomas A.; Cardozo, Mario G.: Kirrane, Thomas M.: Kuzmich, Daniel: Proudfoot, John Robert: Takahashi, Hidenori:

Thomson, David; Wang, Ji; Zindell, Renee; Harcken, Christian Hanke Justus Joachim; Riether, Doris Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 277 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Paten+

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PAI	ENT	NO.			KIN	D	DATE			APPL	ICAT	I NOI	NO.		D.	ATE		
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                    PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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                    FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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                                   B2 20050607
        US 6903215
        EP 1490062
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B1 20071219
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        BR 2003008784 A
                                           20050111 BR 2003-8784 20030321
        CN 1633296
                                              20050629
                                                               CN 2003-807180
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                                                                                                 20030321
       CN 1633296 A 20050629 CN 2003-807180 JP 2005527555 T 20050915 JP 2003-579818 NZ 535889 A 20060331 NZ 2003-535889 AT 381333 T 20080115 AT 2003-714339 ES 2298508 T3 20080516 ES 2003-714339 IN 2004DN02316 A 20050401 IN 2004-DN2316 US 2005095714 A1 20050317 US 2004-944615 US 7553966 B2 20090630
                                                                                               20030321
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US 2004-09404031 A 20090612 US 2004-94301
MX 2004009329 A 20050125 MX 2004-9329
US 20050282881 A1 20051222 US 2005-185349
ZA 2004006225 A 20060531 ZA 2004-6225
US 20060189647 A1 20060824 US 2006-410408
IN 2008DN09640 A 20090612 IN 2008-DN9640
PRIORITY APPLN. INFO.:
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                                                                                                20040924
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                                                               US 2006-410408 20060425

IN 2008-DN9640 20081119

US 2002-367758P P 20020326

US 2002-431817P P 20021209

US 2003-442404P P 20030124
                                                                                                20060425
                                                               US 2003-734303 A1 20030321 W0 2003-US8901 W 20030321 IN 2004-DN2316 A3 20040817 US 2004-944615 A1 20040917 US 2005-185349 A1 20050720
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TOh 02/09/2009

OTHER SOURCE(S): MARPAT 139:292139

AB Title compds. I and II [wherein R1 = substituted (hetero)arv1; R2 and R3 = independently H or alkyl; or CR2R3 = cycloalkyl; R4 = (un)substituted alkyl, alkenyl, or alkynyl; R5 = substituted heteroaryl; and R6 (when present) = (un)substituted alkyl, alkenyl, alkynyl, carbocyclyl(alkyl), heterocyclyl(alkyl), (hetero)aryl(alkyl), arylhaloalkyl, carbocyclylalkenyl, heterocyclylalkenyl, or (hetero)arylalkenyl; and tautomers, prodrugs, solvates, or salts thereof] were prepared as glucocorticoid mimetics (no data). For example, 1,1,1-trifluoro-4-(5-fluoro-2-methoxyphenyl)-4-methylpentan-2-one (multi-step preparation from Et trifluoropyruvate, 1-bromo-2-methylpropene, and 4-fluoroanisole given) was coupled with 2-methyl-5-phenylbenzoxazole using LDA in THF to afford III. I, II, and pharmaceutical compns. containing such compds. are useful for treating inflammatory, allergic, or proliferative disorders mediated by glucocorticoid receptor (GR) function (no data). 42933-43-7P, (2,3-Dihydrobenzofuran-5-yl)amine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of heteroarylalkanols as GR modulators for treatment of inflammatory, allergic, and proliferative diseases) 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

RN

OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS

RECORD (25 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:610204 CAPLUS

DOCUMENT NUMBER: 139:164801

TITLE: Preparation of 2,4-pyrimidinediamines as IgE and/or

IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue

destruction

INVENTOR(S): Singh, Rajinder; Argade, Ankush; Payan, Donald G.; Molineaux, Susan; Holland, Sacha J.; Clough, Jeffrey; Keim, Holger; Bhamidipati, Somasekhar; Sylvain,

Catherine; Li, Weigun; Rossi, Alexander B.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

PAIENI ASSIGNEE(S): Rigel Pharmaceuticals,

SOURCE: PCT Int. Appl., 648 pp. CODEN: PIXXD2

DOCUMENT TYPE: CODEN: PI

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
WO	2003 2003	0637	94		A2		2003	0807										
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
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							ΙE,										BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
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US	2004	0029	902		A1		2004	0212		US 2	003-	3555	43		2	0030	131	
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EP	1471	915			A2		2004	1103		EP 2	003-	7076	54		2	0030	131	
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CN	1625	400			A		2005	0608		CN 2	003-	8031	80		2	0030	131	
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211	2006 7329 2006 7332	671	210		MI MI		2000	0210		00 2	005-	T-40 /	40		2	0030	000	
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115	7332	184	2,2		R2		2000	0210		00 2	005	1124	10		2	0000	000	
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US 20060135543	A1	20060622	US	2005-299207		20051208
US 7435814	B2	20081014				
US 20070225321	A1	20070927	US	2006-539013		20061005
US 20070293520	A1	20071220	US	2006-539018		20061005
US 7498435	B2	20090303				
US 20070293521	A1	20071220	US	2006-539029		20061005
US 20070293522	A1	20071220	US	2006-539041		20061005
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US 7485724	В2	20090203				
US 20080039622	A1	20080214	US	2007-782581		20070724
US 7550460	B2	20090623				
US 20090082567	A1	20090326	US	2008-199705		20080827
US 20090171085	A1	20090702	US	2008-268235		20081110
US 20090156622	A1	20090618	US	2008-273357		20081118
AU 2008252053	A1	20090108	AU	2008-252053		20081203
US 20090171086	A1	20090702	US	2009-363537		20090130
PRIORITY APPLN. INFO.:				2002-353267P	P	20020201
			US	2002-353333P	P	20020201
			US	2002-399673P	P	20020729
				2002-434277P	P	20021217
				2003-208931	A3	20030131
				2003-355543	A1	20030131
				2003-US3022	W	20030131
			US	2004-858343	A3	20040601
				2005-149418		20050608
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			US	2006-539054	A3	20061005
OTHER SOURCE(S):	MARPAT	139:164801				

GI SOURCE (S):

MARPAT 139:164801

AB Title compds. I [wherein L1 and L2 = independently a bond or a linker, R2 = (un)substituted alkyl, (hetero)cycloalkyl, or (hetero)aryl, R4 = H or R2; R5 = R6 or (un)substituted alkyl, alkenyl, or alkynyl, R6 = independently H, an electroneg. group, protected alc. or thiol, haloalkyl(oxy), halo, CN, NC, OCN, SCN, NO, NOZ, N3, or (un)substituted amino, sulfamoyl(oxy), acyl, carboxy, carbamoyl, (hetero)aryl(alkyl), etc.; with provisos and exclusions; and salts, hydrates, solvates,

N-oxides, and prodrugs thereof| were prepared as inhibitors of the IgE and/or IgG receptor signaling cascades that lead to the release of chemical mediators. For example, coupling of 2,4-dichloropyrimidine with 4-ethoxyaniline in EtOH provided N2,N4-bis(4-ethoxypheny1)-2,4pyrimidinediamine (II). The latter inhibited degranulation of bone marrow derived mast cells challenged with anti-IgE and ionomycin with IC50 values of 4.5 µM and 4.4 µM, resp. Thus, I and their pharmaceutical compns. are useful in the treatment and prevention of diseases characterized by, caused by, or associated with the release of chemical mediators via degranulation of mast, basophil, neutrophil, or eosinophil cells and other processes effected by activation of the IqE and/or IqG receptor signaling cascades. The treatment and prevention of allergic diseases, low grade scarring, diseases associated with tissue destruction, diseases associated with tissue inflammation, inflammation, and scarring are targeted uses (no data).

42933-43-7, 5-Amino-2,3-dihydrobenzofuran

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidinediamines as IgE and/or IgG receptor modulators for treatment of allergic diseases, inflammatory conditions, and tissue destruction)

42933-43-7 CAPLUS RN

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

THERE ARE 33 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: 33 RECORD (34 CITINGS)

L12 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:472358 CAPLUS

DOCUMENT NUMBER: 139:53025

TITLE: Preparation of vanilloid receptor ligands and their

use in treatments

INVENTOR(S): Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael G.; Liu, Qingyian; Norman, Mark

Henry; Wang, Xianghong; Zhu, Jiawang; Ognyanov, Vassil; Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael; Liu, Oingvian; et al.

Amgen Inc., USA; et al. PATENT ASSIGNEE(S):

PCT Int. Appl., 611 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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WO 2003049702	A2	20030619	WO 2002-US39589	20021210 <

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        GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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AU 2003247425
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EP 1688408
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	US 20050227986	A1	20051013		2005-100077		20050405
	US 7579347	B2	20090825	0.0	2000 100011		20050105
	US 20050272931	A1	20051208	HC	2005-99978		20050405
	US 20060030618	A1	20051200		2005-100272		20050405
	US 20050267163	A1	20050209		2005-100272		20050405
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	US 7524874		20090428		2005 105150		20050001
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	US 7148221	B2	20061212				
	US 20050277646	A1	20051215	US	2005-195303		20050801
	US 7396831	B2	20080708				
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	AU 2008202517	A1	20080626	AU	2008-202517		20080605
PRIOR	RITY APPLN. INFO.:			US	2001-339161P	P	20011210
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					2002-383331P	P	20020522
					2002-402422P	P	
					2002-364549	A.3	20021210
					2002-799927		20021210
					2002-316295		20021210
					2002-US39589	W	
					2002 0353303		20030520
					2003-US16655	W	20030520
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					2003-785220		20030808
					2003-638009		20030808
OTHE	R SOURCE(S):	MADDAT	139:53025	U.S	2003-636009	AJ	20030000
AB	Claimed are compds.					01.	V1 VD 4
MD	R1R2CHCR3R3C(:X)YR4					U (i.	A)IN4 UI
	(2E)-3-[4-(tert-buty						
	(2,3-dihydrobenzo[1,						
	yl]amine) and compns						
	inflammatory and neu						
	migraine, cluster he						
	tension headache, ,						
	osteoarthritis, infl						
	disorders, inflammato						
	complaints with infl						
	inflammatory pain an						
	and associated hyper	algesi	a and allody	nia	, diabetic neurop	ath	y pain,
	causalgia, sympathet						
	asthma, epithelial t						
	disturbances of visc						
	gastrointestinal or						
	reactions, pruritis,						
	ulceration, duodenal						
	necrotising agents,						
	disorders or bladder						
	ligands, but no test						
	are not claimed, .ap						
	.apprx.400 I are inc						
	6-membered ring hete	rocycl	e; R2 is H,	hyd:	roxy, halo, C1-6a	lky.	l, or
	(un)saturated 5- or	6-memb	ered ring he	ter	ocycle; or R1 and	. R2	together are

o-benzenediyl-Ll-o-benzenediyl. R3 is H or Cl-4alkyl; or R1 and R3 together are o-benzenediyl-L2- or -2π -L2- (Z = pyridine-2,3-diyl). R4 is Ph, (un)saturated 5- or 6-membered ring heterocycle, 10-membered bicyclic ring comprising fused 6-membered rings, containing 0-4 N atoms with the remainder being C atoms, with at least one of the 6-membered rings being aromatic; X is 0, S or NRa; or X and R2 together are :N-CH:CH-, :CO-, :C-5-, or :C-NRa-; Y is NH or 0; addnl. details including provisos are given in the claims. 42933-43-7, (2,3-b)indrobenzo (b)furan-5-vl) amine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of vanilloid receptor ligands and their use in medical treatments)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (66 CITINGS)

L12 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:261682 CAPLUS

DOCUMENT NUMBER: 138:271698

TITLE: Preparation of

2-phenylamino-4-(5-pyrazolylamino)pyrimidines as

kinase inhibitors, in particular, SRC kinase inhibitors for treating cancers

INVENTOR(S): Dixon, Julie; Scott, William J.; Dumas, Jacques;

Brennan, Catherine; Hatoum-Mokdad, Holia

PATENT ASSIGNEE(S): Bayer Corporation, USA SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003026665 A1 20030403 WO 2002-US30980 20020926 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2002337760 A1 20030407 AU 2002-337760 20020926 <--

PRIORITY APPLN. INFO.:

US 2001-325110P P 20010926 WO 2002-US30980 W 20020926

OTHER SOURCE(S):

MARPAT 138:271698

GI

HN NH N R1 R3

AB This application discloses and claims 5-substituted-2,4-diaminopyrimidines, (shown as I; e.g. 3-[3-[[4-[(3-tert-butvl-1H-pvrazol-5-vl)amino]-2pyrimidinyl]amino]phenoxy]-1,2-propanediol; R1 = C1-6 alkyl, C3-6 cycloalkyl, adamantyl, Ph, or a 5-membered heteroarom. containing a single heteroatom = N, O, and S; R2 = H, F, C1, or C1-4 alkyl; R3 = H, halogen, O(C1-4 alkyl), or C1-6alkyl; R4 = halogen, NO2, C1-6 alkyl, NR5R6, O(CH2)1-4CO2R7, O(CH2)1-4C(O)NR5R6, N(R5)C(O)CH2OR8, OC(O)R9, C(O)NR5R6, CO2R7, CN, or O(C1-4alkyl) optionally substituted by OH or phenoxy; addnl. definitions are in the claims), pharmaceutical compns. containing them, a method of making them, and methods of using them for treatment of cancers. Eleven examples of I were found to inhibit SRC kinase with IC50 values less than 500 nM. Many general methods of preparation of I and several specific examples are included; characterization data are included for 35 examples of I. For example, 3-[3-[[4-[(3-tert-butyl-1H-pyrazol-5yl)amino]-2-pyrimidinyl]amino]phenoxy]-1,2-propanediol was prepared from N-(3-tert-butyl-1H-pyrazol-5-yl)-2-chloro-4-pyrimidinamine and 3-(3-aminophenoxy)-1,2-propanediol in 21% yield; prepns. of the reactants are also included.

IT 42933-43-7, 5-Amino-2,3-dihydrobenzofuran
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of phenylamino pyrazolylamino pyrimidines as SRC kinase inhibitors for treating cancers)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT:

- 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
- REFERENCE COUNT:
- THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:827030 CAPLUS

DOCUMENT NUMBER: 136:177463

TITLE: 6-(4-Benzylpiperazin-1-yl)benzodioxanes as selective ligands at cloned primate dopamine D4 receptors

AUTHOR(S): Hodgetts, Kevin J.; Kieltyka, Andrzej; Brodbeck, Robbin; Tran, Jennifer N.; Wasley, Jan W. F.;

Thurkauf, Andrew

CORPORATE SOURCE: Neurogen Corporation, Branford, CT, 06405, USA

SOURCE: Bioorganic & Medicinal Chemistry (2001),

9(12), 3207-3213

CODEN: BMECEP: ISSN: 0968-0896 PUBLISHER:

Elsevier Science Ltd. DOCUMENT TYPE: Journal

LANGUAGE . English

CASREACT 136:177463 OTHER SOURCE(S):

A series of novel 6-(4-benzylpiperazin-1-yl)benzodioxanes were prepared and screened at selected dopamine receptor subtypes.

6-(4-[4-Chlorobenzyl]piperazin-1-yl)benzodioxane had high affinity and selectivity for the D4 dopamine receptor subtype and was identified as a D4 antagonist via its attenuation of dopamine-induced GTPv35S

binding at the D4 receptor. 50386-54-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(benzylpiperazinyl benzodioxanes as selective ligands at cloned primate dopamine D4 receptors)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(7 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:396489 CAPLUS

DOCUMENT NUMBER: 135:5535

TITLE: Preparation and use of derivatives of

dihydrofuro[3,4-b]quinolin-1-ones as anti-tumor agents Husson, Henri-Philippe; Giorgi-Renault, Sylviane; INVENTOR(S):

Tratrat, Christophe; Atassi, Ghanem; Pierre, Alain; Renard, Pierre; Pfeiffer, Bruno

PATENT ASSIGNEE(S): Adir et Compagnie, Fr.; Les Laboratoires Servier

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1103554 EP 1103554		20010530 20030312	EP 2000-403255	20001122 <
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT, FR 2801310			FR 1999-14771	19991124 <
FR 2801310		20010323	FR 1999-14//1	19991124 <
MX 2000011240		20020523	MX 2000-11240	20001115 <
JP 20011151756		20010605		
JP 3566649		20040915	01 2000 333430	20001122 1
AT 234305		20030315	AT 2000-403255	20001122 <
US 6548515		20030415		
ES 2194692	T3	20031201		
NO 2000005922	A	20010525	NO 2000-5922	20001123 <
HU 2000004704	A2	20011128	HU 2000-4704	20001123 <
CA 2326710	A1	20010524		
CA 2326710	C	20060627		
ZA 2000006912	A	20010605		
CN 1302804	A	20010711	CN 2000-128318	20001124 <
CN 1157394	C	20040714		
BR 2000005557	A	20010717		
AU 781300		20050512	AU 2000-71825	20001124
HK 1036983	A1	20041231		
PRIORITY APPLN. INFO.:			FR 1999-14771	A 19991124
OTHER SOURCE(S):	MARPAT	135:5535		
CT				

AB Compds. I, their preparation and use as anti-tumor agents are claimed [wherein; R = H, OH or alkoxy; Rl, R2 = H, halo, (halo)alkyl, OH, alkoxy, amino, etc.; R3 = H, (heterolaryl, cycloalkyl, hydroxy, alkoxy, amino, etc.; X = O, S, CH2 or CH2CH2; Ar = (heterolaryl or arylalkyl). Over 50 synthetic examples are provided. The process claimed is illustrated by the synthesis of II. N-Methyl-3,4-methylenedioxyaniline was reacted with 3-(3,4,5-trimethoxybenzylidene)-2,4-(3H,5H)-furandione in ethanol at reflux for 30 min to give II. Selected compds. were evaluated for

cytotoxicity in L1210, A549 and HT29 cells; IC50 for II was 53, 102 and 104 nM resp. Compds. I were evaluated for in vivo antitumor activity against i.p. implanted murine P388 leukemia cells in BDF1 mice. At doses of 50 mg/kg i.p., II prolonged survival time to 200% of control. A sample formulation is provided.

42933-43-7 RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; synthesis and use of substituted dihydrofuro[3,4-b]guinolin-1-ones as anti-tumor agents)

RM 42933-43-7 CAPLUS 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

HoN

CN

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD

(6 CITINGS) REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:58596 CAPLUS

DOCUMENT NUMBER: 134:115968

TITLE: 6-(4-Arylalkylpiperazin-1-yl)benzodioxane and

6-(4-arylalkylpiperazin-1-yl)chromane derivatives useful as subtype-specific dopamine receptor ligands

Tran, Jennifer N.; Thurkauf, Andrew INVENTOR(S):

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: U.S., 9 pp.

CODEN: USXXAM DOCUMENT TYPE: Pat.ent.

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 6177566	B1	20010123	US 1999-343309		19990630 <
US 20010005753	A1	20010628	US 2001-761048		20010116 <
US 6333329	B2	20011225			
US 20020099056	A1	20020725	US 2001-27150		20011220 <
US 6486164	B2	20021126			
PRIORITY APPLN. INFO.:			US 1998-91250P	P	19980630
			US 1999-343309	A1	19990630
			US 2001-761048	A1	20010116
OTHER SOURCE(S):	MARPAT	134:115968			

KUE (5)

AB The title compds. [I; A = C1-4 alkylene optionally substituted with C1-2 alkyl; R1-R5 = H, halo, C1-6 alkyl, C1-6 alkoxy, C1-4 alkylthio, OH, amino, mono- or dialkylamino, cyano, nitro, CF3, or CF30, R6-R9 = H, C1-6 alkyl; X = 0, bond, CH2, CH2CH2, CH2O] and their pharmaceutically acceptable acid addition salts are disclosed. The compds. are useful for the treatment and/or prevention of neuropsychol. disorders including, but not limited to, schizophrenia, mania, dementia, depression, anxiety, compulsive behavior, substance abuse, Parkinson-like motor disorders, and motion disorders related to the use of neuroleptic agents. As selective ligands for dopamine D4 receptors, the compds, are expected to be relatively free of neurol. side effects. Approx. 10 salts were prepared and their free bases claimed. Thus, reaction of 1-(1,4-benzodioxan-6-yl)piperazine (preparation given) with 4-fluorobenzyl chloride in the presence of K2CO3 in MeCN afforded 34% I [X = O; A = CH2; R1 = R2 = R4 = R5 = H; R3 = F; R6-R9 = H]. This compound showed a Ki of 11 nM for D4 receptor binding, vs. Ki values of 3662 nM and >4000 nM for D3 and D2 binding, resp.

Ι

50386-54-4P, 6-Aminochroman

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (arvlalkylpiperazinyl)benzodioxane and (arylalkylpiperazinyl)chroman derivs. as subtype-specific dopamine receptor ligands)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

REFERENCE COUNT:

16 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:15203 CAPLUS

DOCUMENT NUMBER: 132:78570

TITLE: Preparation of

6-(4-arvlalkylpiperazin-1-vl)benzodioxane and

6-(4-arylalkylpiperazin-1-yl)chromane derivatives as

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

dopamine receptor subtype specific ligands

INVENTOR(S): Tran, Jennifer N.; Thurkauf, Andrew

PATENT ASSIGNEE(S): Neurogen Corporation, USA

GI

SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. -----A2 20000106 WO 1999-US14426 WO 2000000489 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20000106 CA 1999-2336089 CA 2336089 A1 19990625 <--AU 9947204 Α 20000117 AU 1999-47204 19990625 <--EP 1091949 EP 1999-930727 19990625 <--A2 20010418 R: AT. BE, CH. DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2002519350 т 20020702 JP 2000-557250 19990625 <--PRIORITY APPLN. INFO.: US 1998-109242 A 19980630 WO 1999-US14426 W 19990625 OTHER SOURCE(S): MARPAT 132:78570

AB The title compds. [I; A = alkylene optionally substituted with alkyl; R1-R5 = H, halo, alkyl, etc., R6-R9 = H, alkyl; X = O, a bond, alkylene, methyleneoxy] and their pharmaceutically acceptable acid addition salts which are useful for the treatment and/or prevention of neuropsychol disorders including, but not limited to, schizophrenia, mania, dementia, depression, anxiety, compulsive behavior, substance abuse, Parkinson-like motor disorders and motion disorders related to the use of neuroleptic agents, were prepared Thus, reacting 1-(1,4-benzodioxan-6-yl)piperazine (preparation given) with 4-fluorobenzyl chloride in the presence of K2CO3 in MeCN afforded 34% I [X = O; A = CH2; R1 = R2 = R4 = R5 = H; R3 = F; R6-R9 = H] which showed Ki of 11 nM against D4 receptor binding vs. Ki of 3662 nM and >4000 nM against D3 and D2 binding, resp.

Ι

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6-(4-arvlalkylpiperazin-1-v1)benzodioxane and 6-(4-arvlalkylpiperazin-1-v1)chromane derivs, as dopamine receptor subtype specific ligands)

RM 50386-54-4 CAPLUS

2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

HoN

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:427209 CAPLUS DOCUMENT NUMBER: 125:195464

ORIGINAL REFERENCE NO.: 125:36607a,36610a

TITLE: A convenient modification of the Gassman oxindole synthesis

AUTHOR(S):

Wright, Stephen W.; McClure, Lester D.; Hageman, David

CORPORATE SOURCE: Pfizer Central Research, Groton, CT, 06340, USA

SOURCE: Tetrahedron Letters (1996), 37(27),

4631-4634

CODEN: TELEAY: ISSN: 0040-4039

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

A modification of the Gassman oxindole synthesis is described that proceeds from anilines XC6H4NH2 (X = H, 4-MeO, 2-Me, 3-MeS, etc.) and Et (methylsulfinyl)acetate, using oxalyl chloride to activate the sulfoxide to facilitate the formation of the key N - S bonded intermediate. This procedure is particularly convenient for reactions carried out on smaller

scales and for anilines that are susceptible to electrophilic halogenation.

42933-43-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(Gassman oxindole synthesis from anilines and Et

(methylsulfinyl)acetate) RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

THERE ARE 13 CAPLUS RECORDS THAT CITE THIS OS.CITING REF COUNT: 13 RECORD (13 CITINGS)

L12 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:777739 CAPLUS
DOCUMENT NUMBER: 123:198608
ORIGINAL REFERENCE NO.: 123:35449a.35452a

TITLE: Preparation of N-aryl-2-cyano-3-hydroxy

propenamide-derivative antiinflammatory agents

INVENTOR(S): Evans, Phillip L.; Kuo, Elizabeth Anne

PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 652214	A1	19950510	EP 1994-402478	19941103 <
R: AT, BE, CH,	DE, DK	ES, FR, GB	GR, IE, IT, LI, LU,	NL, PT, SE
JP 07188145	A	19950725	JP 1994-290323	19941101 <
CA 2135044	A1	19950505	CA 1994-2135044	19941103 <
PRIORITY APPLN. INFO.:			GB 1993-22781	A 19931104
OTHER SOURCE(S):	MARPAT	123:198608		

0 OH R2 N A R1

- AB The title compds. [I; Rl = alkyl, cycloalkyl, alkenyl, alkynyl; CR2R3 = (un)substituted carbocyclic or heterocyclic ring; R4 = alkyl), useful as antiinflammatory agents, antidiabetic agents (no data), etc. (no data), are prepared and a I-containing formulation presented. Thus, N-[5-(2,3-dihydrobenzofuryl)]-2-cyano-3-cyclopropyl-3-hydroxy-2-propenamide, prepared in 4 steps from 2,3-dihydrobenzofuran, demonstrated 13% inhibition of carrageenan-induced rat-paw edema at 50 mg/kg (p.o.). If 42933-43-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-ary1-2-cyano-3-hydroxy propenamide-derivative antiinflammatory

agents)

RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

Ι

OS.CITING REF COUNT: THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L12 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:406388 CAPLUS DOCUMENT NUMBER: 109:6388 ORIGINAL REFERENCE NO.: 109:1205a,1208a

TITLE: Synthesis of amino-substituted 2-methylcoumarans,

chromans, benzoxepanes and their N-(alkylamino)acyl derivatives

AUTHOR(S):

Dauksas, V.; Petrauskas, O.; Purvaneckas, G. CORPORATE SOURCE: Vil'nyus, Univ., Vilnius, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1987

), (3), 320-4

CODEN: KGSSAQ; ISSN: 0453-8234 DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 109:6388

- AR Nitration of 2-methylcoumarans, chromans, and benzoxepanes I and II (R = Me, R1 = H, n = 1; R = R1 = H, n = 2,3) gave mixts. of nitro derivs. I and II (R1 = NO2) which were reduced by Fe-Cu in EtOH to give the corresponding amines I and II (R1 = NH2). Acylation of the amines by Me(CH2)3CHBrCOC1 gave I and II [R1 = NHCOCHBr(CH2)3Me] which could be aminated by MeNH2 or Et2NH to give I and II [R1 = NHCOCH(NHMe)(CH2)3Me, NHCOCH (NEt2) (CH2) 3Me1.
- 50386-54-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and acylation of)
- 50386-54-4 CAPLUS RN
- 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME) CN

L12 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

1983:71912 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 98:71912

ORIGINAL REFERENCE NO.: 98:11003a,11006a

TITLE: Benzofuran derivatives and their use

INVENTOR(S): Schroeder, Eberhard; Lehmann, Manfred; Rufer, Clemens;

Boettcher, Irmgard

PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger. SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 59884 EP 59884	A1 B1	19820915 19850522	EP 1982-101418	19820225	<
R: AT, BE, CH,	DE, FR	, GB, IT, LU	, NL, SE		
DE 3110009	A1	19820930	DE 1981-3110009	19810311 <	<
AT 13429	T	19850615	AT 1982-101418	19820225 <	<
JP 57203079	A	19821213	JP 1982-37308	19820311 <	<
JP 03008350	В	19910205			
US 4411910	A	19831025	US 1982-357344	19820311 <	<
PRIORITY APPLN. INFO.:			DE 1981-3110009	A 19810311	
			EP 1982-101418	A 19820225	
OTHER SOURCE(S):	CASREA	CT 98:71912;	MARPAT 98:71912		

GI

- Benzofurans I (R = H, Ac; R1, R2 = H, F, C1; X = O, CH2; X1 = CH2, O; Z = O, H2), useful as inflammation inhibitors, analgesics, antipyretics, diuretics, thrombocyte aggregation inhibitors, anti-ulcer agents, tumor inhibitors, and in treatment of dysmenorrhea and migraine (no data), were prepared Thus, 2,3-dihydrobenzo[b]furan-5-amine was converted in 7 steps by known methods into methanesulfonamide II.
- 42933-43-7 RL: RCT (Reactant); RACT (Reactant or reagent) (N-acetylation of)
- 42933-43-7 CAPLUS RN
- 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME) CN

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

(6 CITINGS

L12 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1983:16571 CAPLUS DOCUMENT NUMBER: 98:16571

ORIGINAL REFERENCE NO.: 98:2683a,2686a

TITLE: Acetophenetidine analogs

INVENTOR(S): Blade Font, Arturo; De Mass Rocabayera, Teodoro; Palop

Palop, Daniel; Escartin Tomas, Pilar
PATENT ASSIGNEE(S): Laboratorios Frumtost-Prem S. A., Spain

PATENT ASSIGNEE(S): Laboratorios Frumtost-Prem S. A., Spain SOURCE: Span., 16 pp.

CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 504326	A1	19820601	ES 1981-504326	19810728 <
PRIORITY APPLN. INFO.:			ES 1981-504326	19810728



NHR I

- AB Acylaminobenzofurans I (R = acyl) were prepared Thus 2,5-HO(AcNH)C6H3CH2NEt2.MeI was treated with 450% excess CH2N2 to give 39% I (R = Ac) which at 25 mg/kg gave 30.66% inhibition of HOAc-induced writhing in mice.
- II 42933-43-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation and acylation of)

- RN 42933-43-7 CAPLUS
- CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

L12 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN 1982:16951 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

96:16951

ORIGINAL REFERENCE NO.: 96:2827a,2830a

Reagents for detection of urobilinogen in body fluids TITLE: PATENT ASSIGNEE(S): Eiken Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56118670	A	19810917	JP 1980-21692	19800225 <
JP 63048311	В	19880928		
PRIORITY APPLN. INFO.:			JP 1980-21692	19800225

AB Compns. containing phenyldiazonium salts (2,3-dihydroxybenzofuran-5-diazonium tetrafluoroborate, 2,3-dihydroxybenzothiophene-5-diazonium

tetrafluoroborate, 1,4-benzodioxane-6-diazonium tetrafluoroborate,

2,3-dihydroxybenzofuran-7-diazonium tetrafluoroborate, 1-acety1-2,3-dihydroindole-5-diazonium sulfate) and organic acids and(or)

inorg, acids are reagents for the detection of urobilinogens in body fluids. As an example, filter papers (Whatman 3MM) were immersed in a solution containing 2,3-dihydroxybenzofuran-5-diazonium tetrafluoroborate,

oxalic acid, Na laurylsulfate, MeOH and distilled H2O, and dried at 40°.

Development of a pink color is indicative of pos. results. Detection limits were .apprx.0.4 mg/dL.

50386-54-4

RL: ANST (Analytical study)

(diazotization and reaction of, with sodium dodecylbenzenesulfonate) 50386-54-4 CAPLUS

RN

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

L12 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

1977:5484 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 86:5484

ORIGINAL REFERENCE NO.: 86:951a,954a

TITLE: Tricyclic furoquinazolinones

INVENTOR(S): Cooke, George A.; Houlihan, William J.

PATENT ASSIGNEE(S): Sandoz-Wander, Inc., USA

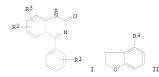
SOURCE: U.S., 11 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3963717	A	19760615	US 1975-556574	19750310 <
PRIORITY APPLN. INFO.:			US 1975-556574	19750310
C.T.				



AB Antinflammatory and analgesic (no data) furoquinazolinones I (R = CHMez, cyclopropylmethyl, cyclopentylmethyl, CMe3, CH2CMe:CH2, ET; R1 = H, 4-F, 4-CE3, 3-OMe; R2R3 = 7,8-CCH2CH2C, 6,7-CCH2CH2, 5,6-CH2CH2O, 6,7-CH2CH2O, 5,6-CCH2CH2, 7,8-CH2CH2O) (38 compds) were prepared Thus the benzofuranamine II (R4 = NH2) was treated with Me2CHI, II (R4 = NHCHMe2) treated with NaNCO, II (R4 = N(CHMe2)CONH2) condensed with PhCH0 and oxidized with KMnO4 to give I (R = CHMe2, R1 = H, R2R3 = 7,8-CCH2CH2).

IT 42933-43-7 RL: RCT (Reactant): RACT (Reactant or reagent)

(reaction of, with isopropyl iodide)
RN 42933-43-7 CAPLUS

CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L12 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1973:526238 CAPLUS

10/923,271

DOCUMENT NUMBER: 79:126238

ORIGINAL REFERENCE NO.: 79:20487a,20490a

Nitration of substituted chromans TITLE:

AUTHOR(S): Brancaccio, G.; Lettieri, G.; Viterbo, R.

CORPORATE SOURCE: Res. Lab., Richardson-Merrell S.p.A., Naples, Italy

Journal of Heterocyclic Chemistry (1973), SOURCE:

10(4), 623-9

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal English

LANGUAGE:

The nitration of C1-, AcNH-, Me-, and NO2-substituted chromans was studied and the structure of the nitro compds. confirmed by chemical and spectral data.

тт 50386-54-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(Sandmeyer chlorination of)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

50386-66-8P 50603-85-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 50386-66-8 CAPLUS

RN

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-5-nitro- (CA INDEX NAME)

RN 50603-85-5 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-5-nitro-, hydrochloride (1:1) (CA INDEX NAME)

HC1

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L12 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:418859 CAPLUS DOCUMENT NUMBER: 79:18859

ORIGINAL REFERENCE NO.: 79:3035a,3038a

TITLE: Natural and synthetic materials with insect hormone

activity. XVI. Synthesis of

N-geranylaniline-containing oxygen heterocyclics AUTHOR(S): Kahovcova, Jitka; Arnold, Zdenek; Sorm, Frantisek

CORPORATE SOURCE: Cesk. Akad. Ved, Prague, Czech. SOURCE:

Collection of Czechoslovak Chemical Communications (1973), 38(4), 1165-7

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: English

AB The reaction of 4-amino-1,2-methylenedioxybenzene with geranyl bromide in

DMF in the presence of anhydrous K2CO3 at 70° gave 4-(3,7-dimethyl-2,6-octadienylamino)-1,2-methylenedioxybenzene (I) and

4- [bis(3,7-dimethyl-2,6-octadienyl)amino]-1,2-methylenedioxybenzene. Similar reactions were performed with 5-amino-2.3-dihydrobenzofuran. 5-aminobenzofuran-2-carboxylic acid, 5-amino-benzo-1,3-dioxane, and

5-aminobenzo-1, 4-dioxane. From I,

4-(6,7-epoxy-3,7-dimethyl-2-octenylamino)-1,2-methylenedioxybenzene and 4-(3,7-dimethyloctylamino)-1,2-methylenedioxybenzene were also prepared

42933-43-7 RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with geranylbromide)

42933-43-7 CAPLUS DM CN 5-Benzofuranamine, 2,3-dihydro- (CA INDEX NAME)

L12 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1966:4088 CAPLUS DOCUMENT NUMBER: 64:4088 ORIGINAL REFERENCE NO.: 64:707e-h,708a

TITLE: Amines

PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co., A.-G. SOURCE:

MATERIA DAME

9 pp. DOCUMENT TYPE: Patent. LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	IENI NO.	KTND	DATE	APPLICATION NO.	DATE
NL	6414649		19650621	NL 1964-14649	19641216 <
BE	657234			BE	

FR 1417774
GB 1043486
PRIORITY APPLN. INFO.:
GI For diagram(s), see printed CA Issue.

19631220

Amines with the general formula I, where n is 0-3, R1, R2, and R3 are H or AB Me, R4 is an alkyl group, and R5 is H or an alkyl group, can be prepared from an aminophenol with the general formula II, where R4' is H or an alkyl group, and R5' is H, acyl, or an alkyl group, and alcohols of the general formulas CH2:CHC(CH3)(OH)[CH2CH2CH2CH(CH3)]CH3 or HOCH2CH:C(CH3)nCH2CH2CH2CH(CH3)nCH3 or their esters. Thus, to a mixture of 11. freshly distilled formic acid (99%) and 120 q. 2,3,5-trimethyl-4-formylaminophenol, 200 g. isophytol was added. With addition of N2 and refluxing, mixture was stirred for 22 hrs. at 135°. After cooling mixture was poured on 2 kg. ice and a brown oil formed. Yield was 130 g. α-tocopheramine, b0.01 200-3°, absorption maximum at 300 mm (E11 85), which was acylated and then reduced to give N-ethyl-y-tocopheramine, a light yellow oil, b0.01 211-14°, uv absorption maximum at 299 mm (E11 52), n24.5D 1.5086. Similarly obtained, starting with 2,3-dimethyl-4-formylaminophenol, was N-ethyl-γ-tocopheramine, b0.05 195-7°, uv absorption maximum at 238 and 305 mm (E11 195 and 69), n22.5D 1.5083. In 9 g. dry formic acid, 10 g. a-tocopheramine and 6 g. of a 40% formaldehyde solution were heated for 16 hrs. to boiling. Yield was N,N-dimethyl- γ -tocopheramine, b0.02, 200-5°, n23D 1.5015. Similarly obtained, starting with δ -tocopheramine, was N, N-dimethyl-δ-tocopheramine, b0.007 183-8°, n19D 1.5080, absorption maximum at 244 and 304 mm (E11 268 and 58). In 1 1. dry formic acid 174 g. N-formyl-2,3-dimethyl-4-aminophenol was dissolved under N2, 220 g. isophytol was added, and the mixture refluxed for 22 hrs. after which it was poured on 2 kg. ice. Yield was N-formyl-ytocopheramine, b0.01 233°, n24.5D 1.5158, which was reduced to yield N-methyl-γ-tocopheramine, a light yellow oil, b. 190-5°, n22D 1.5083, absorption maximum at 306 mm (E11 74). Similarly obtained, starting with N-formyl- δ -tocopheramine, was N-methyl-8-tocopheramine, b0.005 189-90°, n22.5D 1.5106, uv absorption maximum at 242 and 309 mm (E11 225 and 66). Also obtained starting with N-formyl-β-tocopheramine, was N-methyl-β-tocopheramine, b0.03 207-10°, n21D 1.5088, absorption maximum at 234 and 300 mm (E11 182 and 77). The compds. are useful as anti-oxidants.

FR

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CH

IT 50386-54-4, 6-Chromanamine
 (derivs.)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

L12 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1961:18014 CAPLUS DOCUMENT NUMBER: 55:18014

ORIGINAL REFERENCE NO.: 55:3618h-i,3619a

TITLE: Aminochroman derivatives

INVENTOR(S): Hach, V.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

CS 91157 19590715 CS <---

AB Chroman (20 g.) treated with 100 ml. 60% HNO3 at 15-25° and the mixture (after 10 min. at room temperature) diluted with 100 g. ice and 400 ml. B20

gave 9.5 g. 6-nitrochroman (I), m. 102-3° (EtOH). I (9 g.) was hydrogenated in 100 ml. 96% EtOH over 1 g. Raney NI at room temperature and normal pressure. Filtration and evaporation gave a quant. yield of 6-aminochroman (II), m. 74° (petr. ether). II (12 g.) in 50 ml. AcCH was cooled to 10° and treated with 12 g. ClCH2CCCI. The mixture, diluted with 50 g. AcCNa in 150 ml. H20 and filtered, gave 15 g. 6-chloroacetamidochroman (III), m. 125°. Reaction of III with Et2NB gave 90-95% 6-diethylaminoacetamidochroman (IV); HCl salt m. 163°; ethobromide m. 188°. Similarly, III and piperidine gave 6-piperidinoacetamidochroman (V); HCl salt m. 225°. Salts of IV and V were local anesthetic and hypothensive agents.

50386-54-4P, 6-Chromanamine RL: PREP (Preparation)

(preparation of)

RN 50386-54-4 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

L12 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1960:11424 CAPLUS

DOCUMENT NUMBER: 54:11424

ORIGINAL REFERENCE NO.: 54:2322f-i,2323a-b
TITLE: Local anesthetics. XI. Simple chroman derivatives

AUTHOR(S): Hach, V.

CORPORATE SOURCE: Leciva, Dolni Mecholupy, Prague

SOURCE: Collection of Czechoslovak Chemical Communications (

1959), 24, 3136-40

CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal LANGUAGE: German

AB cf. C.A. 52, 4652e. 6-(Diethylaminoacetylamino)chroman (I),

6-(piperidinoacetylamino)chroman (II), and

 $6-(\beta\text{-piperidinopropionyl}) \, chroman (III) were prepared as cyclic analogs of p-alkoxy-substituted dialkylaminoacylanilides (IV) and of fallicain$

(V), resp., and tested in the form of the HCl salts as surface and

10%

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infiltration anesthetics; their activity, however, was lower than that of
     IV and V. Introducing 3 hrs. at 0° HBr (prepared from 300 g. Br in
     H) into 20 g. o-CH2:CHCH2C6H4OAc, 100 ml. CC14 (dried over P2O5), and 2 g.
     Bz202, keeping the mixture overnight, evaporating the solvent, adding 150 ml.
    NaOH, extracting the mixture with Et2O, evaporating the exts., adding 10 g.
NaOH, 50
    ml. H2O, and 100 ml. EtOH to the oily residue, boiling the mixture 2.5 hrs.,
     diluting with H2O, extracting with Et2O, evaporating, and distilling gave
chroman (VI),
     b24-27 100-105°, n20D 1.5480. Adding dropwise and with vigorous
     agitation in 12 min. at 15-25° 20 g. VI to 100 ml. 60% HNO3 gave a
     blue-green mixture which was kept 10 min. at 20° and then poured into
     100 g. ice and 400 ml. H2O; an oily precipitate separated which on addition of
10-15 ml.
     EtOH gave 9.5 g. yellow powder of 6-nitrochroman (VII), m. 104°
     (EtOH). Hydrogenating 1 hr. 9 g. VII, 100 ml. 96% EtOH, and 1 g. Raney Ni
     at 20° and atmospheric pressure, filtering off the catalyst, and evaporating
     gave 6-aminochroman (VIII), m. 74° (petr. ether); picrate m.
     203° (EtOH); N-Ac derivative (IX) m. 118° (EtOH). Adding in one
     portion at 10° 12 g. ClCH2COCl to 12 g. VIII in 50 ml. AcOH and
     pouring the mixture after 1 min. into 50 g. NaOAc in 150 ml. H2O gave 15 g.
     6-(chloroacetylamino)chroman (X), m. 125° (EtOH). Treating as
     usual (C.A. 49, 979e) Et2NH in C6H6 with X gave 90-95% I, b0.3
     180-5°, m. 63° (petr. ether); HCl salt (prepared in Et20
    solution) m. 163° (EtOH); picrate m. 201° (EtOH); ethobromide
    (prepared in acetone solution) m. 188° (EtOH-Et2O). Similarly was
     prepared II, b0.5 190-5°; HCl salt m. 225° (EtOH); picrate m.
     2170 (EtOH). 6-Acetylchroman (XI) was prepared according to Chatelus
     (C.A. 44, 1975c), m. 43° (petr. ether); oxime (XII) m. 88°
     (EtOH); thiosemicarbazone m. 219° (EtOH). Heating exactly 7.5 min.
     at 100-10° 2.5 g. XII, 20 ml. 85% H3PO4, and 35 g. P2O5, pouring
    the mixture onto ice, extracting with Et2O, and evaporating the exts. gave 1.6
a. IX.
     Heating 8 hrs. on a steam-bath 8.8 g. XI, 11.1 g. piperidine HCl salt, 8
     g. (HCHO)x, and 150 ml. absolute EtOH, keeping the mixture 48 hrs. at 5°,
     filtering off the precipitate, and washing with 25 ml. EtOH gave 10.3 g. III
     salt, m. 202° (EtOH).
     50386-54-4P, 6-Chromanamine 101093-09-8P,
     6-Chromanamine, picrate
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RL: PREP (Preparation) (preparation of)

50386-54-4 CAPLUS RN

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)

HC1

RN 101093-09-8 CAPLUS

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro-, compd. with 2,4,6-trinitrophenol (1:1) (CA INDEX NAME)

CM 1

CRN 50386-54-4 CMF C9 H11 N O

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

DOCUMENT TYPE:

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

ACCESSION NUMBER: 1923:8151 CAPLUS DOCUMENT NUMBER: 17:8151

ORIGINAL REFERENCE NO.: 17:1447f-i,1448a-c

TITLE: Rings through the m- and p-positions of benzene. A

study of certain ethers of resorcinol and

m-aminophenol

AUTHOR(S): Wilson, W. C.; Adams, Roger

L12 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2009 ACS on STN

SOURCE: Journal of the American Chemical Society (1923

), 45, 528-40 CODEN: JACSAT; ISSN: 0002-7863

Journal

LANGUAGE: Unavailable

AB Attempts to close m- and p-rings, starting from various types of phenol ethers, were unsuccessful. Resorcinol bis-β-bromoethyl ether, from

6H4(ONa)2 and (CH2Br)2 in alc., m. 94.5-5.0°, b9 166-7°. Bis-y-bromopropyl ether, from 6H4(OH)2, CH2(CH2Br)2 and K2CO3 in

Me2CO-H2O, m. 67°, b6 204-6°; with 6H4(ONa)2 there are

formed, in addition, 3 other products: the y-bromopropyl allyl ether, 6H4(OCH2CH:CH2)OCH2CH2CH2Br, m. 88-9°,

γ-propyloxyphenyl(allyloxyphenyl)trimethyleneglycol, m.

119-20°, and resorcinol diallyl ether, b12 156-8°, d2020

1.1645, nD20 1.5672. Bis-y-iodopropyl ether, from the Br compound in aqueous Me2CO with NaI, m. 88-9°, is partly converted by Na in Et2O into the dipropyl ether, also obtained from 6H4(OH)2, PrBr and K2CO3 in

Me2CO, b12 127-8°, d2121 1.035, nD33 1.5138. Bis-γ-amylaminopropyl ether, from 6H4(OCH2CH2CH2I)2 and AmNH2 heated alone or in PbMe, b10 249-52°; dihydrochloride, m. 287°. Bis-Y-cyanopropyl ether, from the I compound and NaCN in aqueous alc., b7 236-7°, m. 31-2°, converted by Na in alc. into the bis-δ-aminobutyl ether, b7 208-9° d2020 1,0589, nD26 1.5315, whose dihydrochloride m. 248-9° and monohydrochloride m. 233-4°; the latter, distilled under 7 mm., decomps, into pyrrolidine, m-6H4(OH)2 and resorcinol mono-δ-aminobutyl ether, b8 198-204°, m. 119-9.5° (hydrochloride, m. 159-61°), which in NaOH with p-02NC6H4COCl gives resorcinol mono-δ-p-nitrobenzovlaminobutvl ether p-nitrobenzoate, m. 123-4°, m-Nitrophenyl γ-bromopropyl ether, from O2NC6H4OH, CH2(CH2Br)2 and Na in alc., b7 186-8°, d2020 1.513, nD25 1.5700, reduced by SnC12-HC1 to the m-amino compound, unstable yellow oil (hydrochloride, m. 114-5°), which, refluxed in C6H4, gives 6-aminochroman, b7 140-2°, d2020 1.1549, nD25 1.5944; hydrochloride, begins to decompose 134°, m. 158-60°; picrate darkens 156-60°, m. 182-3°; chloroplatinate, m. 224-5°, decomps, 227°; benzenesulfonvl derivative, m. 148-8.5°. The diazotized chroman couples with β -naphthol to a red substance, C19H16O2N2, m-Nitrophenyl allyl ether, from O2NC6H4OH, CH2:CHCH2Br and Na in alc., b8 136-7°, m. 31.5-2.0°; m-amino compound, b5 120-2°, d2020 1.0891, nD25 1.5708; hydrochloride, m. 145-6°; benzenesulfonvl derivative, m. 83-3.5°. p-Nitrophenol β-bromoethyl ether, from O2NC6H4ONa and (CH2Br)2 in H2O, m. 64°; p-amino compound m. 84°; hydrochloride, m. 196°.

50386-54-4P

RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation) (Rings through the m- and p-positions of benzene. A study of certain ethers of resorcinol and m-aminophenol)

50386-54-4 CAPLUS RN

CN 2H-1-Benzopyran-6-amine, 3,4-dihydro- (CA INDEX NAME)